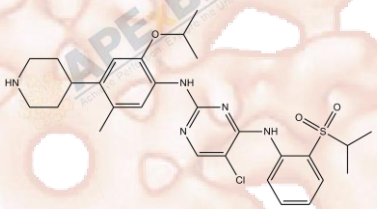
Product Data Sheet

LDK378



Cat. No.:	A8328
CAS No.:	1032900-25-6
Formula:	C28H36ClN5O3S
M.Wt:	558.14
Synonyms:	LDK 378;LDK-378;Ceritinib
Target:	Tyrosine Kinase
Pathway:	ALK
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

insoluble in H2O; ⩾13.95 mg/mL in DMSO; ⩾4.62 mg/mL in EtOH with gentle warming

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	1.7917 mL	8.9583 mL	17.9167 mL
5 mM	0.3583 mL	1.7917 mL	3.5833 mL
10 mM	0.1792 mL	0.8958 mL	1.7917 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	Potent ALK inhibitor
IC_{50} & Target	0.2 nM (ALK)

In Vitro

Cell Viability Assay

Cell Line:	The murine pro-B cell line Ba/F3, human cell line Karpas290
Preparation method:	The solubility of this compound in DMSO is >14mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37°C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions:	10 to 50 nM

	Applications:	LDK378 showed great anti-proliferative activity in Ba/F3-NPM-ALK and Karpas290 cells.
In Vivo	**Animal experiment**	
	Animal models:	2-week Karpas299 (sc injection of Karpas299 cells possessing the NPM-ALK fusion) and H2228 (sc injection of H2228 cells possessing the EML4-ALK fusion) rat xenograft models
	Dosage form:	6.25, 12.5, 25, 50 mg/kg; every day for 14 consecutive days
	Applications:	In the Karpas299 study, LDK378 induced a dose-dependent growth inhibition and tumor regression. In the H2228 study, LDK378 induced a dose-dependent growth inhibition and complete tumor regression at 25mg/kg. In both models, LDK378 was well tolerated and no body weight loss was observed at all doses tested.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

See more customer validations on www.apexbt.com.

References

[1]. Marsilje TH., et al. Synthesis, structure-activity relationships, and in vivo efficacy of the novel potent and selective anaplastic lymphoma kinase (ALK) inhibitor 5-chloro-N2-(2-isopropoxy-5-methyl-4-(piperidin-4-yl)phenyl)-N4-(2-(isopropylsulfonyl)phenyl)pyrimidine -2,4-diamine (LDK378) currently in phase 1 and phase 2 clinical trials. J Med Chem. 2013, Jun 6.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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